

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
11 August 2005 (11.08.2005)

PCT

(10) International Publication Number
WO 2005/072361 A3

(51) International Patent Classification⁷: **A01N 43/64**,
43/66, 43/58, 43/60, A61K 31/53, 31/495, 31/50, C07D
253/08, 487/00, 471/00, 241/36

(74) Common Representative: **MERCK & CO., INC.**; 126
East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

(21) International Application Number:
PCT/US2005/002454

(22) International Filing Date: 26 January 2005 (26.01.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/539,691 28 January 2004 (28.01.2004) US

(71) Applicant (for all designated States except US): **MERCK
& CO., INC.** [US/US]; 126 East Lincoln Avenue, Rahway,
NJ 07065-0907 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **BUTORA, Ga-
bor** [CZ/US]; 126 East Lincoln Avenue, Rahway, NJ
07065-0907 (US). **GUIADEEN, Deodialsingh** [US/US];
126 East Lincoln Avenue, Rahway, NJ 07065-0907
(US). **KOTHANDARAMAN, Shankaran** [IN/US]; 126
East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
MACCOSS, Malcolm [GB/US]; 126 East Lincoln Ave-
nue, Rahway, NJ 07065-0907 (US). **MILLS, Sander,
G.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ
07065-0907 (US). **YANG, Lihu** [US/US]; 126 East Lin-
coln Avenue, Rahway, NJ 07065-0907 (US).

(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ,
TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA,
ZM, ZW.

(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,
FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO,
SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN,
GQ, GW, ML, MR, NE, SN, TD, TG).

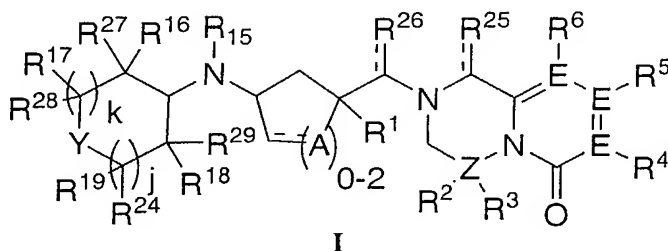
Published:

— with international search report

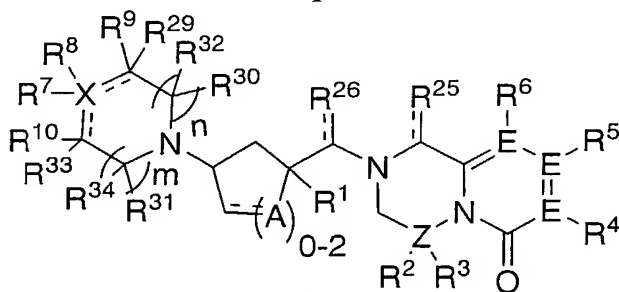
(88) Date of publication of the international search report:
17 November 2005

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: AMINOCYCLOPENTYL PYRIDOPYRAZINONE MODULATORS OF CHEMOKINE RECEPTOR ACTIVITY



I



II

(57) Abstract: Compounds of Formula I and
Formula II (wherein A, E, j, k, m, n, R¹, R²,
R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹⁵, R¹⁶, R¹⁷,
R¹⁸, R¹⁹, R²⁴, R²⁵, R²⁶, R²⁷, R²⁸, R²⁹, R³⁰, R³¹,
R³², R³³, R³⁴, X, Y and Z are as defined herein)
which are modulators of chemokine receptor
activity and are useful in the prevention
or treatment of certain inflammatory and
immunoregulatory disorders and diseases,
allergic diseases, atopic conditions including
allergic rhinitis, dermatitis, conjunctivitis, and
asthma, as well as autoimmune pathologies
such as rheumatoid arthritis and atherosclerosis.
The invention is also directed to pharmaceutical
compositions comprising these compounds and
the use of these compounds and compositions
in the prevention or treatment of such diseases
in which chemokine receptors are involved.